Claims

1. The compounds according to the general formula la or lb:

wherein in each,

R1 means H, C₁-C₆ alkyl, cycloalkyl, C₁-C₄ alkylcycloalkyl,

R2 means C_1 - C_{14} alkyl, C_2 - C_{14} alkenyl, C_1 - C_4 alkylaryl, heteroaryl, C_1 - C_4 alkylheterocycloalkyl, cycloalkyl, C_1 - C_4 alkylheterocycloalkyl, heterocycloalkyl, C_1 - C_4 alkylheterocycloalkyl, $C_mH_{2m+o-p}Y_p$ (with m=1 to 6, for o=1, p=1 to 2m+o; for m=2 to 6, o=-1, p=1 to 2m+o; for m=4 to 6, o=-2, p=1 to 2m+o; Y=1 independently from each other selected from the

group consisting of halogen, OH, OR21, NH₂, NHR21, NR21R22, SH, SR21), CH₂NHCOR21, CH₂NHCSR21, CH₂S(O)nR21, with n = 0, 1, 2, CH₂SCOR21, CH₂OSO₂-R21, CHO, CH=NOH, CH(OH)R21, -CH=NOR21, -CH=NOCOR21, -CH=NOCH₂CONR21R22, -CH=NOCH(CH₃)CONR21R22, -CH=NOC(CH₃)₂CONR21R22, -CH=N-NHCO-R23, -CH=N-NHCO-CH₂NHCOR21, -CH=N-O-CH₂NHCOR21, -CH=N-NHCS-R23, -CH=CR24R25 (trans or cis), COOH, COOR21, CONR21R22, -CH=NR21. -

CH=N-NR21R22,

, with X' = NR215, O, S, and R211, R212,

R213, R214, R215 being independently from each other H or C_1 - C_6 alkyl), -CH=N-NHSO₂ aryl, -CH=N-NHSO₂ heteroaryl,

R21, R22 are independently from each other C₁-C₁₄ alkyl, C₁-C₁₄ alkanoyl, C₁-C₆ alkylhydroxy, C₁-C₆ alkylamino, C₁-C₆ alkylamino-C₁-C₆ alkyl, C₁-C₆ alkylamino-di-C₁-C₆ alkyl, cycloalkyl, C₁-C₄ alkylcycloalkyl, heterocycloalkyl, C₁-C₄ alkylheterocycloalkyl, aryl, aryloyl, C₁-C₄ alkylaryl, heteroaryl, heteroaryloyl, C₁-C₄ alkylheteroaryl, cycloalkanoyl, C₁-C₄ alkanoylcycloalkyl, heterocycloalkanoyl, C₁-C₄ alkanoylheterocycloalkyl, C₁-C₄ alkanoylheteroaryl, mono- and di-sugar residues linked through a C atom which would carry an OH residue in the sugar, wherein the sugars are independently from each other selected from the group consisting of glucuronic acid and its stereo isomers at all optical C-atoms, aldopentoses, aldohexoses, including their desoxy compounds (such as e.g. glucose, desoxyglucose, ribose, desoxyribose),

R23 independently of R21, has the same meanings as R21, or CH₂-pyridinium salts, CH₂-tri-C₁-C₆ alkylammonium salts,

R24 independently of R21, has the same meanings as R21, or H, CN, COCH₃, COOH, COOR21, CONR21R22, NH₂, NHCOR21,

- R25 independently of R21, has the same meanings as R21, or H, CN, COCH₃, COOH, COOR21, CONR21R22, NH₂, NHCOR21,
- R24, R25 together mean C₄-C₈ cycloalkyl,
- R3 means H, F, Cl, Br, I, OH, OR31, NO₂, NH₂, NHR31, NR31R32, NHCHO, NHCOR31, NHCOCF3, $CH_{3-m}hal_m$ (with hal = Cl, F, especially F, and m = 1, 2, 3), OCOR31,
- R31, 32 independently from each other mean C₁-C₆ alkyl,
- R5, R6 Independently from each other mean H, C_1 - C_{14} alkyl, C_2 - C_{14} alkenyl, aryl, C_1 - C_4 alkylaryl, heteroaryl, C_1 - C_4 alkylheteroaryl, cycloalkyl, C_1 - C_4 alkylcycloalkyl, heterocycloalkyl, C_1 - C_4 alkylheterocycloalkyl, C_m H_{2m+o-p}Y_p (with m = 1 to 6, for o = 1, p = 1 to 2m+o; for m = 2 to 6, o = -1, p = 1 to 2m+o; for m = 4 to 6, o = -2, p = 1 to 2m+o; Y = independently selected from the group consisting of halogen, OH, OR21, NH₂, NHR21, NR21R22, SH, SR21), or R5 and R6, together with X_1 -C-C- X_2 , form a ring with 5, 6, or 7 members,
- R4, R7, R8 independently from each other mean H, C₁-C₆ alkyl, CO-R41,
- R41 independently from R21 has the same meanings as R21,
- X1 means O, S, NH, N-C₁-C₈ alkyl, N-cycloalkyl,
- x2 means O, S, NH, N-C₁-C₈ alkyl, N-cycloalkyl,
- Y1 means O, N-R9, wherein R9 can, independently from R5, adopt the same meanings as R5,

Y2 means O, N-R10, wherein R10 can, independently from R5, adopt the same meanings as R5, and, if Y1 or Y2 are N-R9 or N-R10, X2-R6 may be H,

Y3 means O, S, NH,

as well their stereoisomers, tautomers, and their physiologically tolerable salts or inclusion compounds.

2. The compounds according to claim 1, wherein Formula Ia or Ib adopt the stereochemistry of Formula IIa or IIb

$$R1$$
 $R2$
 $R3$
 $R6$
 X_{2}
 X_{2}
 X_{1}
 $R5$
 X_{1}
 $R5$
 X_{2}
 X_{3}
 X_{1}
 $R5$
 X_{2}
 X_{3}
 X_{1}
 X_{3}
 X_{4}
 X_{5}
 X_{1}
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 X_{4}
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 X_{1}
 X_{2}
 X_{3}
 X_{4}
 X_{5}
 X_{5}
 X_{5}
 X_{5}
 X_{7}
 X_{1}
 X_{1}
 X_{2}
 X_{3}
 X_{4}
 X_{5}
 X

- 3. The compounds according to claim 1 or 2, wherein the residues R have the meanings indicated above, and wherein R2 has a water solubility that is at least two times higher, preferably at least five times higher, more preferred at least ten times higher, particularly preferred at least fifty times higher, particularly hundred times higher, or even five hundred times higher compared to R2 being CH=CH-CH=CH-CH₃, with all other residues being maintained.
- 4. The compounds according to one of the claims 1 to 3, wherein
- R1 means H, C₁-C₅ alkyl, cycloalkyl, especially H,
- means C₁-C₅ alkyl, C₁-C₄ alkylaryl, C₂-C₅ alkenyl, heteroaryl, C₁-C₄ alkylheteroaryl, CHF₂, CF₃, polyol side chain, particularly CHOH-CHOH-CHOH-CHOH-CHOH-CH₃, CHOH-CHOH-CH₃, CH=CH-CHOH-CHOH-CH₃, CH₂Y (Y = F, Cl, Br, I), CH₂NH₂, CH₂NR21R22, CH₂NHCOR23, CH₂NHCSR23, CH₂SH, CH₂S(O)nR21, with n = 0, 1, 2, CH₂SCOR21, particularly CH₂OH, CH₂OR21, CH₂OSO₂-R21, particularly CHO, CH(OR21)₂, Ch(SR21)₂, CN, CH=NOH, CH=NOR21, CH=NOCOR21, CH=N-NHCO-R23, CH=CR24, R25 (trans or cis), particularly COOH (particularly their physiologically tolerable salts). COOR21, CONR21R22, -CH=NR21, -CH=N-NR21R22,

, (with X' = NR215, O, S, and R211, R212, R213, R214, R215

being independently from each other H or C_1 - C_6 alkyl), -CH=N-NHSO₂ aryl, -CH=N-NHSO₂ heteroaryl, CH=N-NHCO-R23,

- R21, R22 independently from each other mean C_1 - C_6 alkyl, cycloalkyl, aryl, C_1 - C_4 alkylaryl, heteroaryl, C_1 - C_4 alkylheteroaryl,
- R23 independently of R21, has the same meanings as R21, or CH₂-pyridinium salts, CH₂-tri-C₁-C₆ alkylammonium salts,

- R24 independently of R21, has the same meanings as R21, or H, CN, COCH₃, COOH, COOR21, CONR21R22, NH₂, NHCOR21,
- R25 independently of R21, has the same meanings as R21, or H, CN, COCH₃, COOH, COOR21, CONR21R22, NH₂, NHCOR21,
- R24, R25 together mean C₄-C₈ cycloalkyl,
- R3 means F, Cl, Br, I, NO₂, NH₂, NHCOR31,
- R31 independently from each other means C₁-C₆ alkyl,
- R5, R6 independently from each other mean H, C_1 - C_{14} alkyl, C_2 - C_{14} alkenyl, aryl, C_1 - C_4 alkylaryl, heteroaryl, C_1 - C_4 alkylheteroaryl, cycloalkyl, C_1 - C_4 alkylcycloalkyl, heterocycloalkyl, C_1 - C_4 alkylheterocycloalkyl, $C_mH_{2m+o-p}Y_p$ (with m=1 to 6, for o=1, p=1 to 2m+o; for m=2 to 6, o=-1, p=1 to 2m+o; for m=4 to 6, o=-2, p=1 to 2m+o; Y=1 independently selected from the group consisting of halogen, OH, OR21, NH2, NHR21, NR21R22, SH, SR21), or R5 and R6, together with X_1 -C-C- X_2 , form a ring with 5, 6, or 7 members,
- R4, R7, R8 independently from each other mean H, C₁-C₆ alkyl, CO-R41,
- R41 independently from R21 has the same meanings as R21,
- Y3 means O, S, preferably O,

as well their stereoisomers, tautomers, and their physiologically tolerable salts or inclusion compounds.

5. The compounds according to one of the claims 1 to 4 in the form of their inclusion compounds with cyclodextrin, particularly alpha cyclodextrin.

- 6. Drugs containing compounds according to one of the claims 1 to 6, as well as the usual carrier and adjuvants.
- 7. Drugs according to claim 6 in combination with further agents for tumor treatment.
- 8. The use of compounds according to one of the claims 1 to 5 for preparation of drugs for tumor treatment, particularly of those that can be treated by inhibition of the topoisomerases I and/or II.
- 9. The use of compounds according to one of the claims 1 to 5 for preparation of drugs for treatment of parasites.
- 10. The use of compounds according to one of the claims 1 to 5 for preparation of drugs for immunosuppression.
- 11. The use of compounds according to one of the claims 1 to 5 for preparation of drugs for treatment of neurodermitis.